

DN 114:81809

TI Preparation of 7-amino-2-(hydroxymethyl)-s-triazolo[1,5-a]pyrimidine derivatives as cardiovascular agents

IN Shimizu, Shinichiro

PA Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07D487-04

ICS A61K031-505

ICA A61K007-06

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

P.D. 00-00-00	16
P. 1-16	

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02212488	A2	19900823	JP 1989-32929	19890213
OS	MARPAT 114:81809				
GI	Diagram(s) available in offline prints and/or printed CA Issue.				
AB	The title derivs. I (R1, R2 =H, lower alkyl, aralkyl; R3 = H, lower alkyl; R4 = H, lower alkyl; CF3; R3R4 may be alkylene; R5 = H, NO2, ester resid of org. carboxylic acids, CONR6R7; R6, R7 = H, lower alkyl) are prepd. & drugs for treatment of cardiovascular disorders, esp. cerebral ischemic diseases such as arteriosclerosis, cerebral and myocardial infarction, senile dementia, hyperlipemia, etc. I show coronary vasodilatory activity, inhibition on synthesis of prostaglandins and thromboxane A2, and hypolipemic activity. I are also useful as inhibitors for tumor metastasis, ulcer inhibitors, drugs for skin diseases, and hair growth. DMF soln. of 160 g 2-(hydroxymethyl)-5-methyl-s-triazolo[1,5-a]-pyrimidin-7-ol was treated with Ac2O and p-MeC6H4SO3H at 70.degree. for 22 h to give 120 g 2-(acetoxymethyl)-5-methyl-s-triazolo[1,5-a]pyrimidin-7-ol, 60 g of which was further treated with a reaction mixt. of POCl3 and PhNMe2 at 50-60.degree. for 1 h to give 63 g 2-(acetoxymethyl)-5-methyl-7-chloro-s-triazolo[1,5-a]pyrimidine (II). Et2NH was added dropwise to an EtOH suspension of 24 g II at 0.degree. over 15 min and the reaction mixt. was further stirred at room temp. for 1 h to give 25 g I (R1 = R2 = Et, R3 = H, R4 = Me, R5 = Ac).				
ST	triazolopyrimidine prepn cardiovascular agent; hypolipemic triazolopyrimidine prepn; vasodilator triazolopyrimidine prepn; cerebral ischemic disease treatment triazolopyrimidine				
IT	Anticholesteremics and Hypolipemics <u>Neoplasm inhibitors</u> <u>Ulcer inhibitors</u> <u>Vasodilators</u> (amino(hydroxymethyl)triazolopyrimidine derivs.)				
IT	Hair (growth of, amino(hydroxymethyl)triazolopyrimidine effects on)				
IT	Skin, disease or disorder (treatment of, amino(hydroxymethyl)triazolopyrimidine derivs. for)				
IT	***55605-57-7*** RL: RCT (Reactant) (acetylation of, acetoxymethyl deriv. from)				
IT	***89-97-4***, 2-Chlorobenzylamine ***109-89-7***, Diethylamine, reactions ***124-40-3***, Dimethylamine, reactions RL: RCT (Reactant) (amination with, of chlorotriazolopyrimidine derivs.)				
IT	***624-83-9***, Methyl isocyanate ***1189-71-5***, Chlorosulfonyl				

isocyanate  
 RL: RCT (Reactant)  
 (carbamoylation with, of (hydroxymethyl)triazolopyrimidine)

IT \*\*\*63870-39-3\*\*\*  
 RL: RCT (Reactant)  
 (cyclocondensation of, with Et oxocyclopentanecarboxylate,  
 hydroxy(hydroxymethyl)cyclopentatriazolopyrimidine from)

IT \*\*\*611-10-9\*\*\* , Ethyl 2-oxocyclopentanecarboxylate  
 RL: RCT (Reactant)  
 (cyclocondensation of, with amino(hydroxymethyl)triazole,  
 hydroxy(hydroxymethyl)cyclopentatriazolopyrimidine from)

IT \*\*\*62-46-4\*\*\* , Thiocetic acid \*\*\*112-77-6\*\*\* , Oleoyl chloride  
 \*\*\*1002-84-2\*\*\* , Pentadecanoic acid \*\*\*10417-94-4\*\*\*  
 \*\*\*20260-53-1\*\*\* , Nicotinoyl chloride hydrochloride  
 RL: RCT (Reactant)  
 (esterification of, with (hydroxymethyl)triazolopyrimidines)

IT \*\*\*131278-43-8P\*\*\*  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and acetylation of, acetoxymethyl deriv. from)

IT \*\*\*121608-85-3P\*\*\* \*\*\*131278-45-0P\*\*\*  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and amination of, with dialkylamines)

IT \*\*\*121608-84-2P\*\*\* \*\*\*131278-44-9P\*\*\*  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and chlorination of)

IT \*\*\*131258-83-8P\*\*\* \*\*\*131258-85-0P\*\*\* \*\*\*131278-46-1P\*\*\*  
 \*\*\*131278-47-2P\*\*\* \*\*\*131278-48-3P\*\*\* \*\*\*131278-49-4P\*\*\*  
 \*\*\*131278-50-7P\*\*\* \*\*\*131278-51-8P\*\*\* \*\*\*131278-52-9P\*\*\*  
 \*\*\*131278-53-0P\*\*\* \*\*\*131278-54-1P\*\*\* \*\*\*131278-55-2P\*\*\*  
 \*\*\*131278-56-3P\*\*\* \*\*\*131278-57-4P\*\*\* \*\*\*131278-58-5P\*\*\*  
 \*\*\*131278-59-6P\*\*\* \*\*\*131278-60-9P\*\*\* \*\*\*131278-61-0P\*\*\*  
 \*\*\*131278-62-1P\*\*\* \*\*\*131278-63-2P\*\*\* \*\*\*131278-64-3P\*\*\*  
 \*\*\*131278-65-4P\*\*\* \*\*\*131278-66-5P\*\*\* \*\*\*131278-67-6P\*\*\*  
 \*\*\*131278-68-7P\*\*\* \*\*\*131302-05-1P\*\*\* \*\*\*131302-06-2P\*\*\*  
 \*\*\*131302-07-3P\*\*\* \*\*\*131302-08-4P\*\*\* \*\*\*131325-42-3P\*\*\*  
 \*\*\*131826-51-2P\*\*\*  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (prepn. of, as cardiovascular agent)

IT \*\*\*55605-57-7\*\*\*  
 RL: RCT (Reactant)  
 (acetylation of, acetoxymethyl deriv. from)

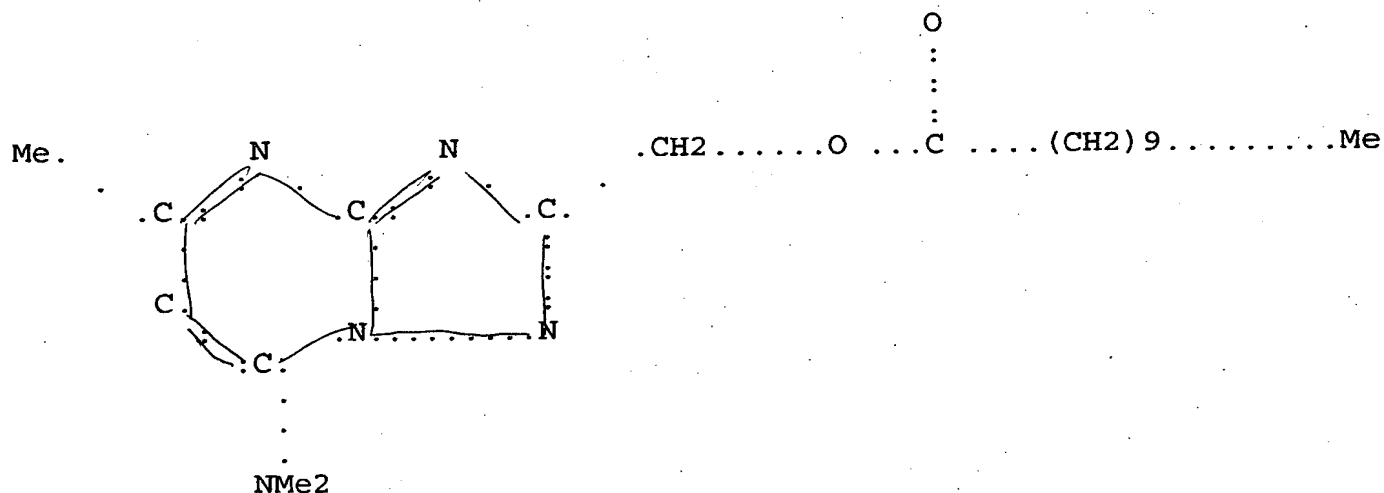
***131278-47-2P***	***131278-48-3P***	IT ***131258-83-8P***
***131278-50-7P***	***131278-51-8P***	***131278-49-4P***
***131278-53-0P***	***131278-54-1P***	***131278-52-9P***
***131278-56-3P***	***131278-57-4P***	***131278-55-2P***
***131278-59-6P***	***131278-60-9P***	***131278-58-5P***
***131278-62-1P***	***131278-63-2P***	***131278-61-0P***
***131278-65-4P***	***131278-66-5P***	***131278-64-3P***
***131278-68-7P***	***131302-05-1P***	***131278-67-6P***
***131302-07-3P***	***131302-08-4P***	***131302-06-2P***
***131826-51-2P***		***131325-42-3P***

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as cardiovascular agent)

RN 131258-83-8 CAPLUS  
CN Undecenoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-  
alpyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 131258-82-7  
CMF C20 H33 N5 O2

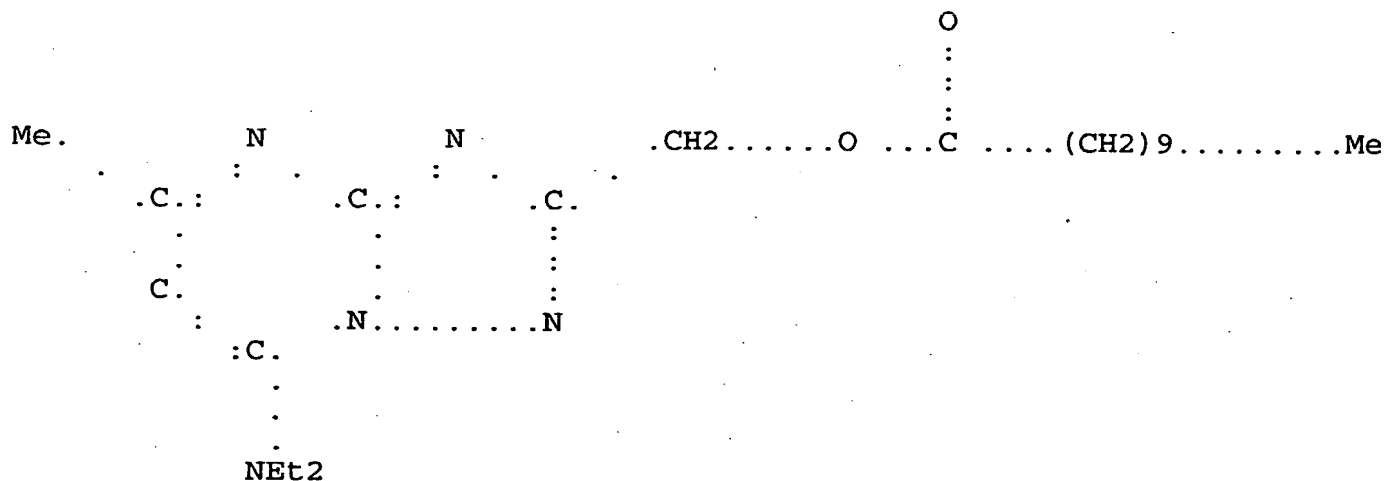


	RN	131258-85-0	CAPLUS
CN		Undecenoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)	

CM 1

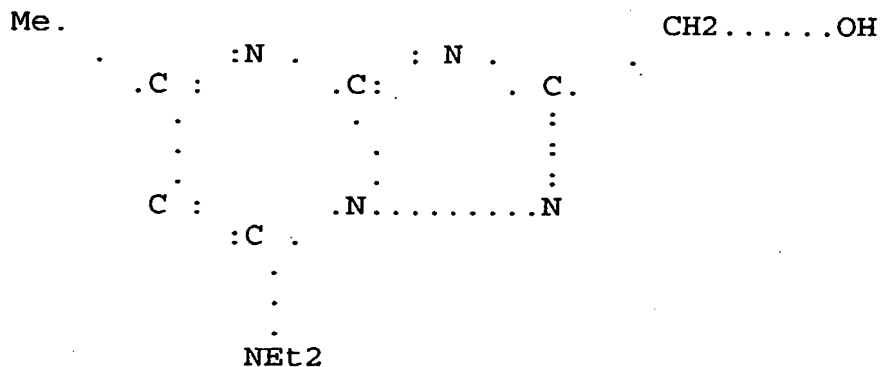
CRN 131258-84-9

CMF C22 H37 N5 O2



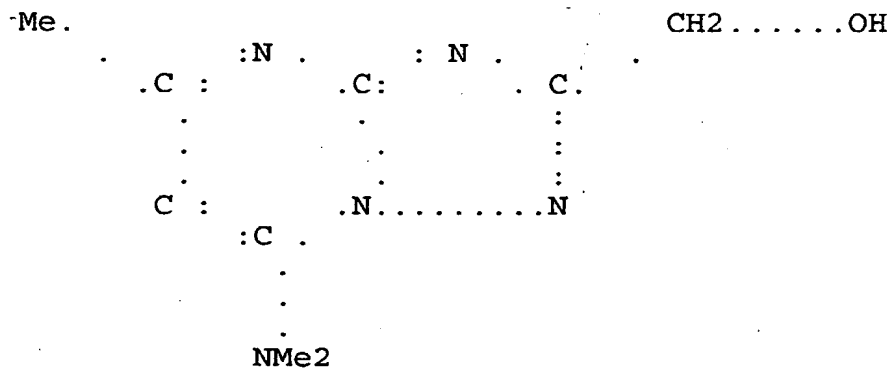
RN 131278-46-1 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-  
(9CI) (CA INDEX NAME)



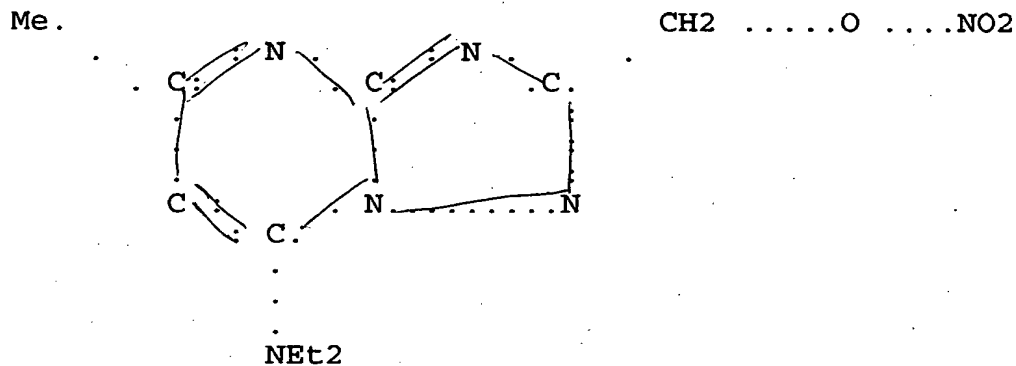
RN 131278-47-2 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-  
(9CI) (CA INDEX NAME)

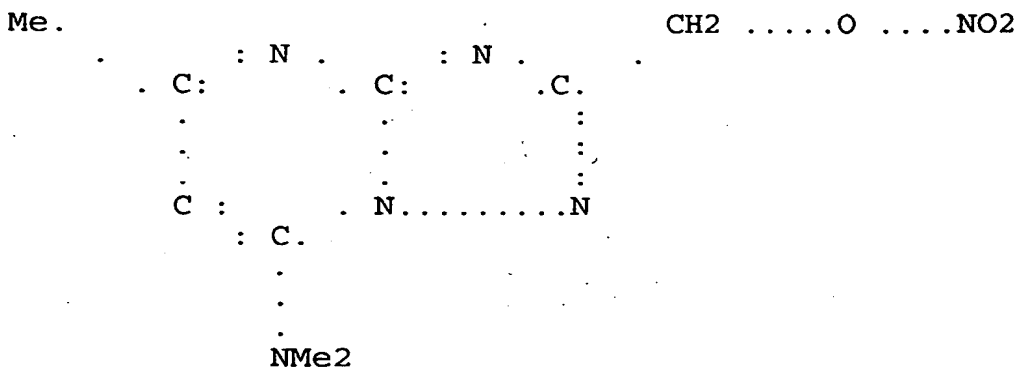


RN 131278-48-3 CAPLUS

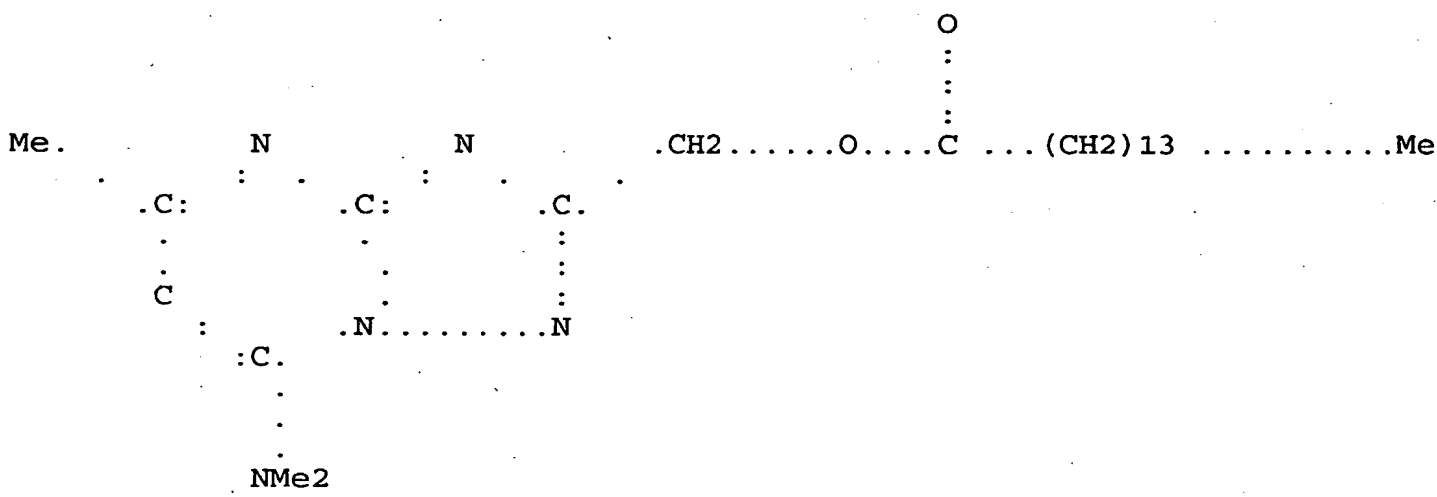
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-,  
nitrate (ester) (9CI) (CA INDEX NAME)



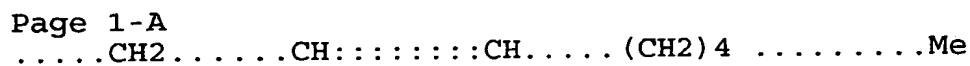
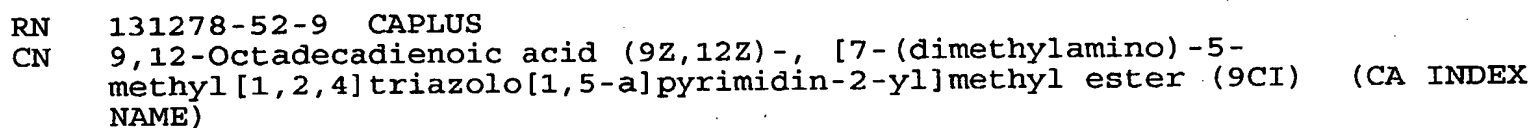
RN 131278-49-4 CAPLUS  
 CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-  
 nitrate (ester) (9CI) (CA INDEX NAME)



RN 131278-50-7 CAPLUS  
 CN Pentadecanoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-  
 a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



CN Pentadecanoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



Page 1-B  
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

CN 9,12,15-Octadecatrienoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (Z,Z,Z)- (9CI)  
(CA INDEX NAME)



Page 1-B

RN 131278-54-1 CAPLUS

Page 1-A

Page 1-B

STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT



RN 131278-5E



Page 1-B

RN 131278-56-3 CAPLUS

5,8,11,14,17-Eicosapentaenoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (all-Z)- (9CI)  
(CA INDEX NAME)

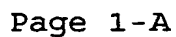


Page 1-B

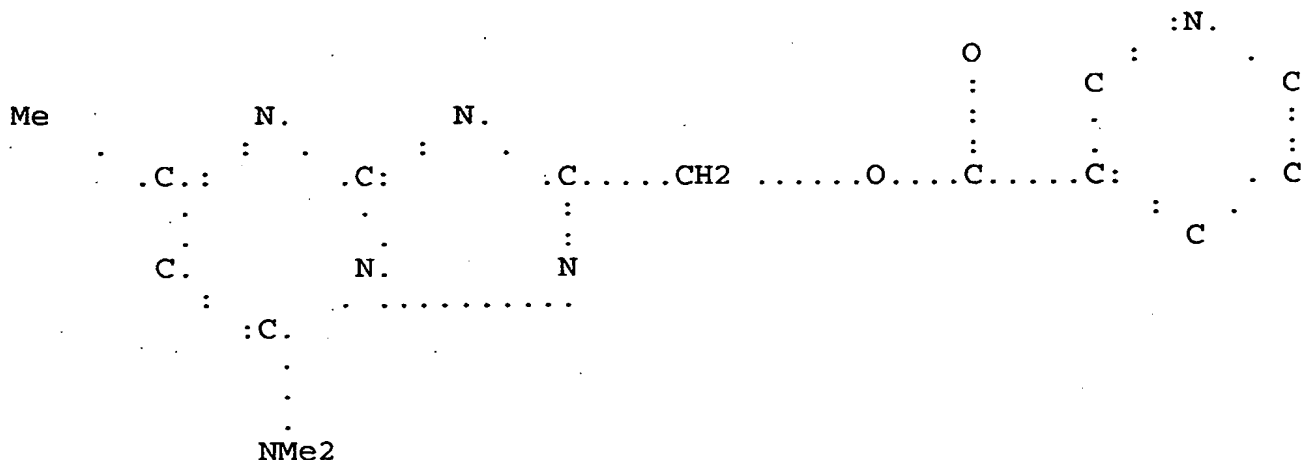
Page 1-C

BNSDOCID: <XP\_2205270A\_1>.

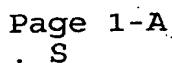
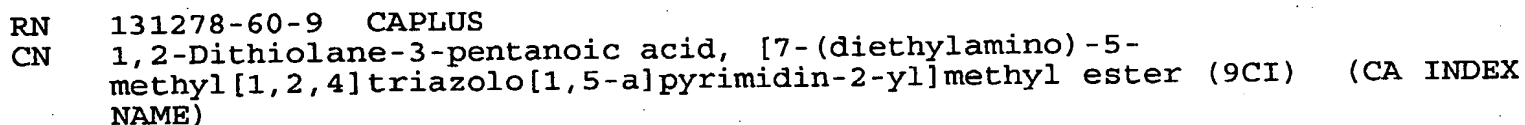
CN Retinoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-yl]methyl ester (9CI) (CA INDEX NAME)



3-Pyridinecarboxylic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-c]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



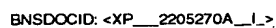
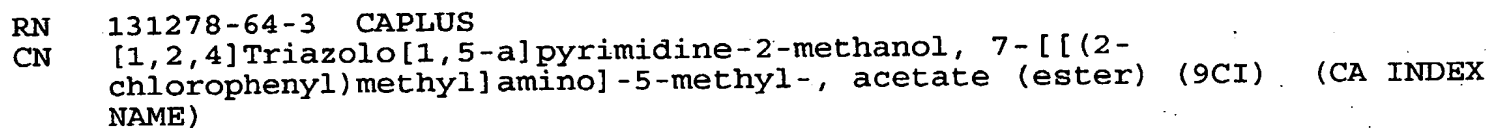
CN 3-Pyridinecarboxylic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



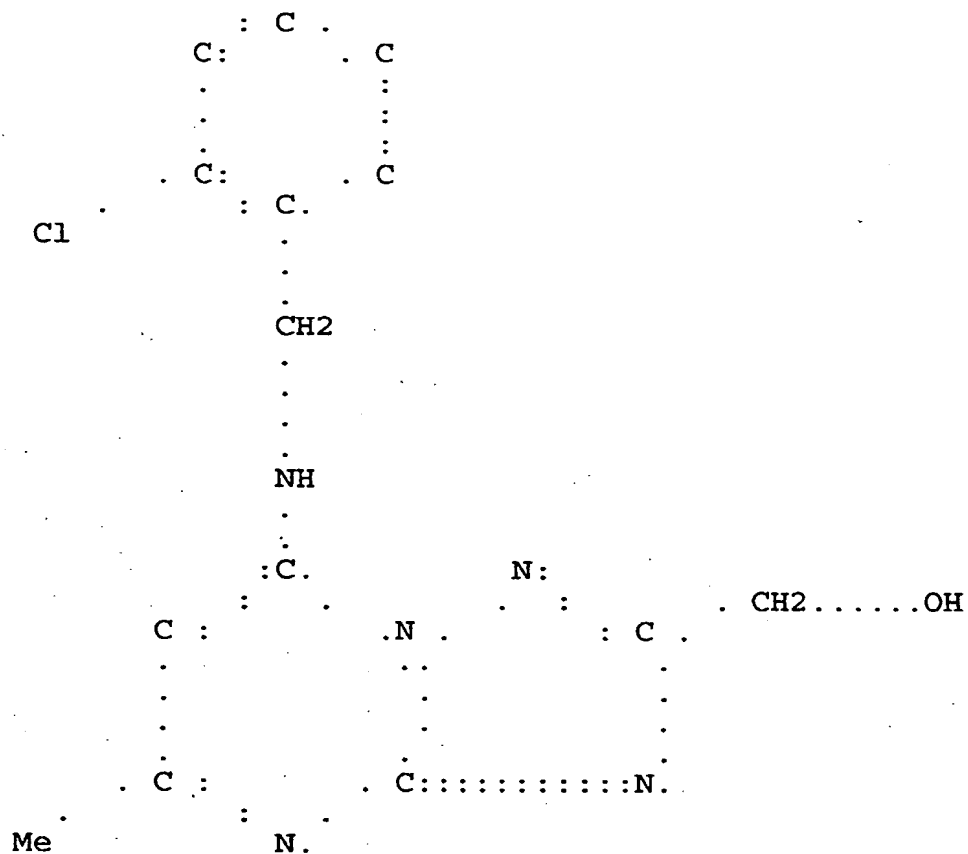
BNSDOCID: <XP\_\_\_\_2205270A\_\_I\_>



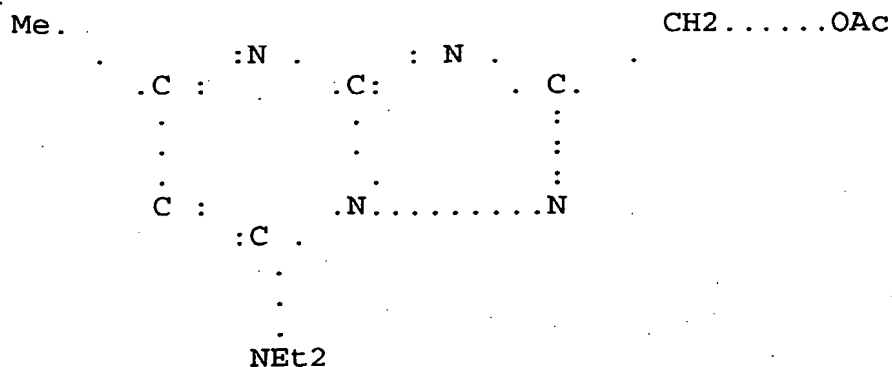
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



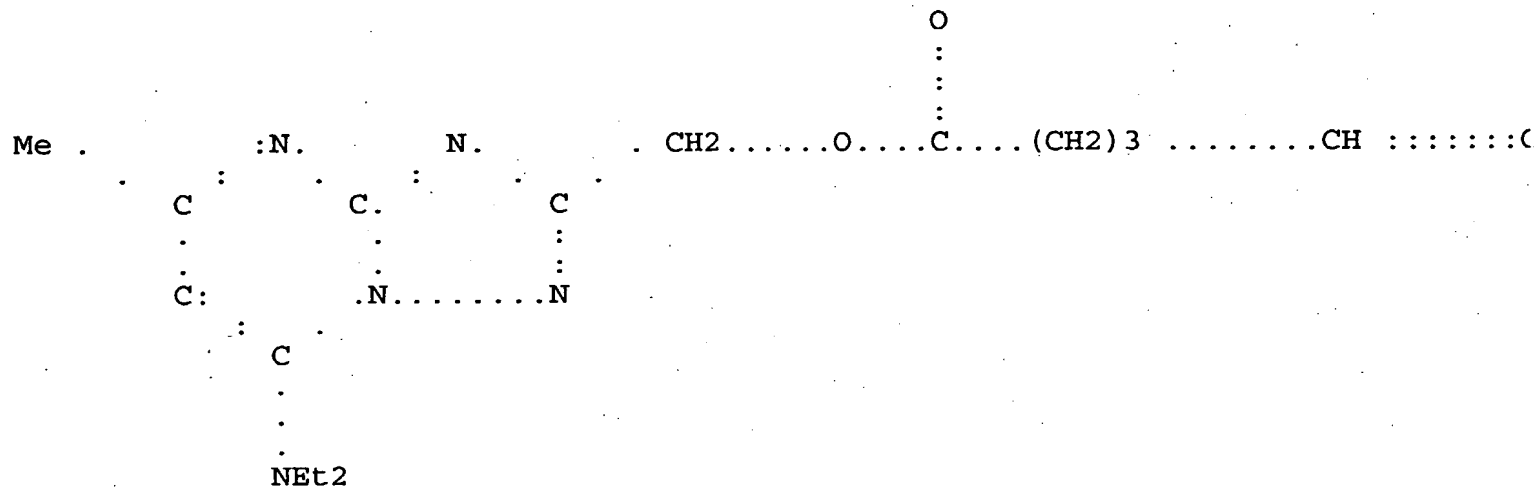
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-[[2-chlorophenyl)methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



RN 131278-68-7 CAPLUS  
 CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-,  
 acetate (ester) (9CI) (CA INDEX NAME)



RN 131302-05-1 CAPLUS  
 CN 5,8,11,14,17-Eicosapentaenoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (all-Z) - (9CI)  
 (CA INDEX NAME)

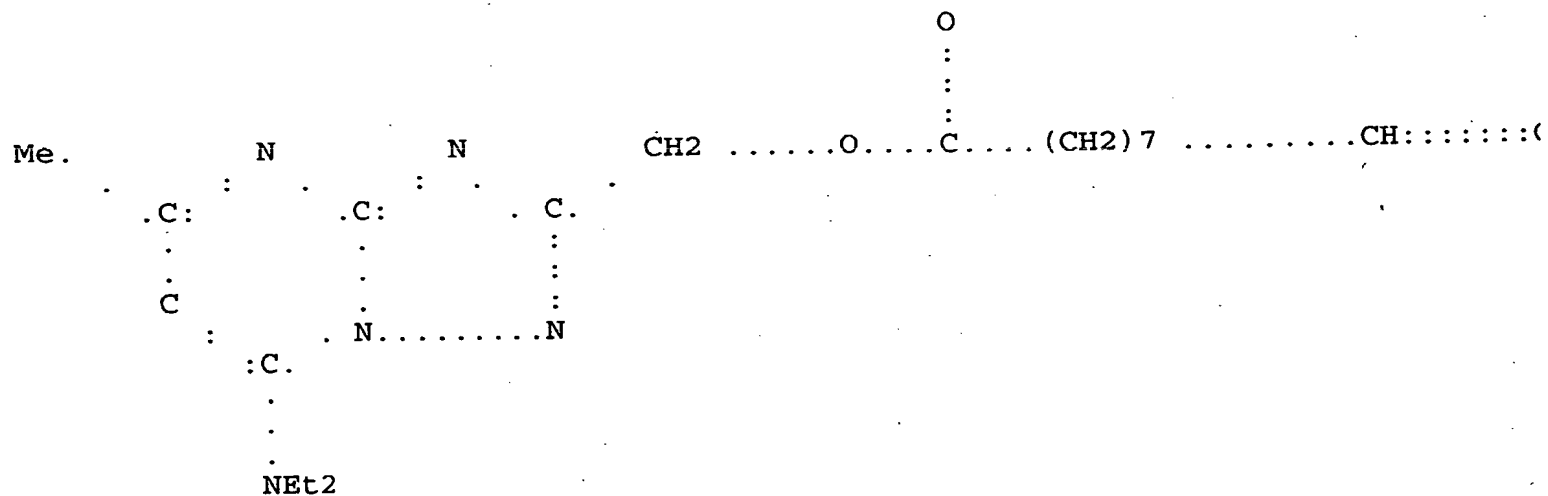


Page 1-A  
 ....CH2 .....CH ::::::CH.....CH2 .....CH ::::::CH.....CH2.....CH ::::::CH.

Page 1-B  
 ..CH2.....CH ::::::CH.....Et

Page 1-C  
 STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

CN 9,12-Octadecadienoic acid (9Z,12Z)-, [7-(diethylamino)-5-methyl [1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



Page 1-A  
.....CH2.....CH::::::::::CH.....(CH2)4.....Me

Page 1-B  
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT